

PATENT COOPERATION TREATY

REC'D 16 MAR 2005

From the
INTERNATIONAL SEARCHING AUTHORITY

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PCT

To:

see form PCT/ISA/220

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION
See paragraph 2 below

International application No.
PCT/EP2004/013162

International filing date (day/month/year)
18.11.2004

Priority date (day/month/year)
20.11.2003

International Patent Classification (IPC) or both national classification and IPC
C07D241/44, C07D401/06, C07D403/06, A61K31/498, A61P43/00

Applicant
JANSSEN PHARMACEUTICA N.V.

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1 bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

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**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2004/013162

Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material:
☐ a sequence listing
☐ table(s) related to the sequence listing
 - b. format of material:
☐ in written format
☐ in computer readable form
 - c. time of filing/furnishing:
☐ contained in the international application as filed.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2004/013162

Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	2-4,13
	No: Claims	1, 5-12
Inventive step (IS)	Yes: Claims	
	No: Claims	1-13
Industrial applicability (IA)	Yes: Claims	1-13
	No: Claims	

2. Citations and explanations

see separate sheet

Re Item V.

1 The following document is referred to in this communication:

D1 : ALI, M. M. ET AL: "Synthesis and antimicrobial activities of some novel quinoxalinone derivatives" MOLECULES [ONLINE COMPUTER FILE] , 5(6), 864-873 CODEN: MOLEFW; ISSN: 1420-3049 URL: [HTTP://WWW.MDPI.ORG/MOLECULES/PAPERS/50600_864.PDF](http://www.mdpi.org/molecules/papers/50600_864.pdf), 2000, XP002319942, **Compound 1b** on p.865 therein, which is not covered by the disclaimer in present Claim 1 is considered to be novelty destroying for present Claims 1, 5-7.

Moreover, in view of the antimicrobial medical utility disclosed on p.865, l.5 therein, said compound is also considered to be novelty destroying for Claims 8-12, in view of the vague and ambiguous pharmaceutical activity "treatment of a PARP-mediated disorder", which is based on the activity path without specifying the tested therapeutical effect.

D2 : EP-A-371564, compounds of formula Ic-2-a in Table 9c therein are excluded due to the disclaimer in Claim 1. Nevertheless, in view of the pharmaceutical properties disclosed in (D2), these compounds which are no longer excluded from the definition of Claims 8-12 appear to be novelty destroying for these claims, in view of the vague and ambiguous pharmaceutical activity "treatment of a PARP-mediated disorder" defined therein, which is based on the activity path without specifying the tested therapeutical effect.

The requirements of Art.33(2) PCT appear to be fulfilled only for Claims 2-4.

2 It is not clear which specific pharmaceutical activity show the claimed compounds. If the Applicant can restore novelty, e.g. by extending the disclaimer to cover the disclosure in (D1), and/or specifying the pharmaceutical activity in Claims 8-12 based on the original disclosure and the test data on p.41 of the description, then an inventive step could be acknowledged, provided that all claimed compounds possess the desired properties, thus belonging to the same inventive concept. In this connection reference is made to the fact that the tested compounds correspond merely to substituents' definitions " $R^3=(a-1)$ ", wherein $R^8=\text{arylalkyl(alkyl)aminoalkyl/ (b-1)}$, wherein $t=0$ ".

The requirements of Art.33(3) do not appear to be fulfilled for Claims 1-13.

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING
AUTHORITY (SEPARATE SHEET)**

International application No.

PCT/EP2004/013162